

## Patent Claims

1. Conjugate, characterized by the formula (I)

5 CT-LI-Sp1-Sp2-K (I)

in which

CT denotes a cytotoxic radical or a radical of a cytostatic or of a cytostatic  
10 derivative, which can additionally carry a hydroxyl, carboxyl or amino  
group,

LI is a linker group comprising 5 to 8 amino acid residues in the D or L configuration, which can each optionally carry protective groups,

Sp1 is absent or a carbonyl or a thiocarbonyl radical,

Sp2 is an optionally substituted arylene or alkylene radical,

20 K is an unsubstituted or regioselectively modified carbohydrate radical:

and their physiologically acceptable salts, hydrates and stereoisomers.

2. Conjugate according to Claim 1, characterized in that

25

LI is a linker group having the formula

- AA1-AA2-AA3-AA4-AA5-AA6-AA7-AA8-

30 wherein at least 5 of the radicals AA1 to AA8 are present, AA1 is bonded to the radical CT and

- 5 AA1 is a naturally occurring amino acid in the D or L configuration, which is selected from the group consisting of glycine, alanine, valine, leucine, isoleucine, histidine, glutamate, aspartate, serine, lysine, ornithine and phenylalanine;
- 10 AA2 is absent or is a naturally occurring amino acid in the D or L configuration, which is selected from the group consisting of alanine, valine, phenylalanine, tyrosine, threonine, serine, isoleucine, lysine, glutamate, histidine, glycine, arginine, asparagine, glutamine, S-methyl-cysteine, methionine, arginine, aspartate, tryptophane, proline, ornithine and leucine, and can optionally carry protective groups,
- 15 AA3 is absent or is a naturally occurring amino acid in the D or L configuration, which is selected from the group consisting of alanine, valine, phenylalanine, tyrosine, serine, isoleucine, lysine, glutamate, histidine, glycine, arginine, aspartate, tryptophane, proline, ornithine, methionine, S-methyl-cysteine, norvaline and leucine, and can optionally carry protective groups,
- 20 AA4 is absent or is a naturally occurring amino acid in the D or L configuration, which is selected from the group consisting of glycine, alanine, valine, leucine, isoleucine, cysteine and norvaline;
- 25 AA5 is absent or is a naturally occurring amino acid in the D or L configuration, which is selected from the group consisting of glycine, alanine, valine, leucine, isoleucine, histidine, tyrosine,
- 30

glutamine, asparagine, proline, methionine, phenylalanine and cysteine;

5 AA6 is absent or is a naturally occurring amino acid in the D or L configuration, which is selected from the group consisting of glycine, alanine, valine, leucine, isoleucine, histidine, glutamine, asparagine, aspartate and proline;

10 AA7 is absent or is a naturally occurring amino acid in the D or L configuration, which is selected from the group consisting of glycine, alanine, valine, leucine, isoleucine, histidine,  $\gamma$ -aminobutyric acid, aspartate, glutamate, lysine and proline;

15 AA8 is absent or is a naturally occurring amino acid in the D or L configuration, which is selected from the group consisting of glycine, alanine, valine, leucine, isoleucine, histidine, lysine, proline and  $\gamma$ -aminobutyric acid;

20 and the other radicals CT, Sp1, Sp2 and K are as defined in claim 1.

3. Conjugate according to claim 2, characterized in that

LI is a linker group having the formula

25 -AA1-AA2-AA3-AA4-AA5-AA6-AA7-AA8-

wherein 5 to 7 of the radicals AA1 to AA8 are present, AA1 is bonded to the radical CT and

30 AA1 is valine, glycine, leucine, histidine;

- 5 AA2 is absent or is a naturally occurring amino acid in the D or L configuration, which is selected from the group consisting of alanine, phenylalanine, serine, isoleucine, glutamate, asparagine, glutamine, histidine, glycine, aspartate, tryptophane, proline, and leucine, and can optionally carry protective groups,
- 10 AA3 is absent or is a naturally occurring amino acid in the D or L configuration, which is selected from the group consisting of alanine, phenylalanine, serine, isoleucine, norvaline, S-methylcysteine, methionine, glutamate, histidine, glycine, aspartate, tryptophane, and leucine, and can optionally carry protective groups,
- 15 AA4 is absent or is a naturally occurring amino acid in the D or L configuration, which is selected from the group consisting of glycine, leucine, cysteine and norvaline, and can optionally carry protective groups,
- 20 AA5 is absent or is a naturally occurring amino acid in the D or L configuration, which is selected from the group consisting of glycine, alanine, valine, leucine, histidine, glutamine, phenylalanine, isoleucine, and methionine,
- 25 AA6 is absent or is a naturally occurring amino acid in the D or L configuration, which is selected from the group consisting of glycine, proline, glutamine, methionine, and leucine;
- 30 AA7 is absent or is a naturally occurring amino acid in the D or L configuration, which is selected from the group consisting of glycine, leucine, aspartate, histidine,  $\gamma$ -aminobutyric acid and proline;

AA8 is absent or is a naturally occurring amino acid in the D or L configuration, which is selected from the group consisting of glycine, proline and  $\gamma$ -aminobutyric acid ;

5 and the other radicals CT, Sp1, Sp2 and K are as defined in claim 1.

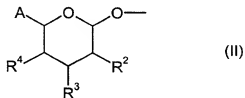
4. Conjugate according to claim 2 or 3, characterized in that

10 CT is camptothecin or a camptothecin derivative, which can be bonded to the rest of the conjugate via the C20-OH group,

LI is as defined in claim 2 or 3;

15 Sp is absent, or is a carbonyl or a thiocarbonyl radical,

K is a carbohydrate moiety of the formula (II)



20 wherein

A is methyl, hydroxymethyl, carboxy and esters and amides derived therefrom, alkoxymethyl, acyloxymethyl oder carboxy-alkyloxymethyl and esters and amides derived therefrom, or CH<sub>2</sub>-B,

25

wherein

B is also a carbohydrate radical of the formula (II) which is bonded via its anomeric centre;

$R^2$ ,  $R^3$  and  $R^4$  are identical or different from each other and denote H, hydroxy, alkyloxy, carboxyalkyloxy and esters and amides derived therefrom, hydroxyalkyloxy, aminoalkyloxy, acyloxy, carboxyalkylcarbonyloxy, sulfato, phosphato, halogen, or a modified carbohydrate radical of the formula (II) which is bonded via its anomeric centre, wherein  $R^2$  additionally can denote amino or acylamino, and/or wherein two of the radicals  $R^2$ ,  $R^3$  and  $R^4$  together can form an epoxy moiety.

5. Conjugate according to Claim 2 or 3, characterized in that

Sp2 is arylene which is substituted in ortho, meta or para position with K and Sp1 and can additionally carry 1 to 4 further radicals which are identical or different from each other and are selected from the group consisting of H, methyl, methoxy, hydroxy, carboxy, methyloxy-carbonyl, cyano, nitro, halogen, sulfonyl oder sulfonamide,

or is a linear or branched alkylene radical,

and the other radicals CT, LI, Sp1 and K are as defined in claim 2 or 3.

6. Conjugate according to any of the claims 1 to 5, characterized in that

CT is camptothecin, which can be linked to the rest of the conjugate via the C20-OH group;

and the other radicals LI, Sp1, Sp2, and K are as defined in claims 1 to 5.

7. Process for the preparation of conjugates according to Claim 1, comprising

the reaction of a compound of the formula (III)



wherein K and Sp2 are as defined in claim 1,

with a carbonic acid derivative such as, for example, phosgene, thiophosgene or a chloroformic acid ester, if appropriate in the presence of a base,

followed by the reaction with a compound of the formula (IV) which has a free primary or secondary amino group



wherein CT and LI are as defined in claim 1,

and

if appropriate the removal of protective groups and/or derivatization of nitrogen atoms present at preferred points of time in the preparation process and/or conversion of the compound obtained into the free acid and/or conversion of the compound obtained into one of its physiological salts by reaction with an inorganic or organic base or acid.

8. Compound according to any of the claims 1 to 6 for the treatment of diseases.

9. Medicament, comprising at least one of the compounds according to one of Claims 1 to 6.

10. Use of compounds according to one of Claims 1 to 6 for the production of medicaments for the treatment of carcinomatous disorders.